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Use of a combination of nateglinide with another antidiabetic compound
for treating a metabolic disorder, e.g. diabetes and associated
conditions, or for effecting weight loss

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Patent Family:

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WO 200121159 A2 20010329 WO 2000EP9074 A 20000915 200130 B

FR 2798592 A1 20010323 FR 200011782 A 20000915 200130

FI 200100683 A 20010515 WO 2000EP9074 A 20000915 200140

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CZ 200101723 A3 20010815 WO 2000EP9074 A 20000915 200157

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MX 2001004255 A1 20010801 MX 20014255 A 20010427 200238

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NO 20021197 A 20020311

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US 2000304196 P 20000407

US 2000663264 A 20000915

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Priority Applications (No Type Date): GB 200021055 A 20000826; US 99398364
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Patent Details:

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Designated States (National): AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA

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KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT

RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW

Designated States (Regional): AT BE CH CY DE DK EA ES FI FR GB GH GM GR

IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW

FR 2798592 A1 A61K-031/16

FI 200100683 A A61K-000/00
 AU 200079044 A A61K-031/00 Based on patent WO 200121159
 CZ 200101723 A3 A61K-031/198 Based on patent WO 200121159
 MX 2001004255 A1 A61K-031/00
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 Designated States (Regional): AL AT BE CH CY DE DK ES FI FR GB GR IE IT
 LI LT LU LV MC MK NL PT RO SE SI
 NO 200201197 A A61K-000/00
 BR 200014525 A A61K-031/00 Based on patent WO 200121159
SK 200200360 A3 A61K-031/00 Based on patent WO 200121159
 BE 1013726 A5 A61K-000/00
 KR 2002038758 A A61K-031/64
 JP 2003509457 W 83 A61K-031/198 Based on patent WO 200121159
 US 20030162816 A1 A61K-031/4439 Provisional application US 99240911

Provisional application US 2000240918
 Provisional application US 2000304196
 Cont of application US 2000663264

Abstract (Basic): WO 200121159 A2

NOVELTY - Nateglinide (I), optionally in combination with another antidiabetic compound, can be used in the treatment of diabetes and associated conditions. The combination can also be used for effecting weight loss.

DETAILED DESCRIPTION - Use of a combination of nateglinide (I) and at least 1 other antidiabetic compound, selected from thiazolidine derivatives (glitazones), sulfonyl urea derivatives and metformin, present in the free form or as salts, for prevention, delay of progression or treatment of metabolic disorders, or for cosmetic treatment to effect a loss of body weight, is new.

INDEPENDENT CLAIMS are included for the following:

- (a) a combination of (I) with an antidiabetic compound (as described above) for simultaneous, sequential or separate use;
- (b) compositions comprising (I) with the antidiabetic compound; and
- (c) a composition capable of being granulated in the presence of water without the need for a subsequent pulverization step prior to tableting, comprising (I) and a carrier; and its use for treating a metabolic disorder.

ACTIVITY - Antidiabetic; anorectic; antilipemic; ophthalmological; vasotropic; antiulcer; antiinflammatory; cardiant; hypotensive; antianginal; dermatological; antiarthritic; osteopathic; gastrointestinal.

MECHANISM OF ACTION - None given.

USE - For treating a metabolic disorder, e.g. diabetes (particularly type II diabetes mellitus) and associated conditions, also for effecting weight loss. The compositions can be used to treat e.g. hyperglycemia, hyperinsulinemia, hyperlipidemia, insulin resistance, impaired glucose metabolism, obesity, diabetic retinopathy, macular degeneration, cataracts, diabetic nephropathy, glomerulonephritis, diabetic neuropathy, erectile dysfunction, premenstrual syndrome, vascular restenosis, ulcerative colitis, coronary heart disease, hypertension, angina pectoris, myocardial infarction, stroke, skin and connective tissue disorders, foot ulcerations, metabolic acidosis, arthritis, osteoporosis, and conditions of impaired glucose tolerance.

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Technology Focus:

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Compounds: (I) is present in the B-type or H-type crystal modification. The antidiabetic compound is preferably a glitazone, e.g. rosiglitazone, troglitazone or pioglitazone, or metformin or its hydrochloride. Preferred Combination: The combination may further comprise insulin, or comprises at least 2 antidiabetic compounds.

Preferred Composition: A composition comprising (I) and a carrier releases 60-95 wt.% (I) within 30 minutes in water. The composition may further comprise colloidal silicon dioxide, and a disintegrant, preferably having molecular weight greater than 1000000, and particle size distribution of less than 400 microm or less than 74 microm. The composition may be in the form of a tablet, a granular composition, or contained in a capsule.

Title Terms: COMBINATION; ANTIDIABETIC; COMPOUND; TREAT; METABOLISM; DISORDER; DIABETES; ASSOCIATE; CONDITION; EFFECT; WEIGHT; LOSS

Derwent Class: A96; B05

International Patent Class (Main): A61K-000/00; A61K-031/00; A61K-031/16; A61K-031/198; A61K-031/4439; A61K-031/64; A61K-038/13

International Patent Class (Additional): A61K-009/16; A61K-009/20; A61K-009/48; A61K-031/155; A61K-031/175; A61K-031/195; A61K-031/425; A61K-031/426; A61K-031/44; A61K-031/4433; A61K-031/63; A61K-038/28; A61K-047/04; A61K-047/12; A61K-047/26; A61K-047/32; A61K-047/38; A61P-001/00; A61P-001/04; A61P-003/00; A61P-003/04; A61P-003/10; A61P-009/00; A61P-009/10; A61P-009/12; A61P-013/12; A61P-015/00; A61P-015/10; A61P-017/00; A61P-019/02; A61P-019/10; A61P-027/06; A61P-027/12; A61K-031/198; A61K-031-155; A61K-031-425; A61K-031-64; A61K-031/16; A61K-031-427

File Segment: CPI

Manual Codes (CPI/A-N): A12-V01; B04-C02A1; B04-C02A2; B04-C03A; B05-B02C; B06-A01; B07-A02B; B07-D04C; B07-F01; B10-A17; B10-C04A; B10-C04E; B12-M11B; B14-E12; B14-R01; B14-S04

Chemical Fragment Codes (M1):

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RA002Y-M

Chemical Fragment Codes (M2):

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P731 P816 Q120 Q254 R031 R032 R038 R14399-K R14399-T R14399-M
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J522 L9 L910 M1 M123 M132 M210 M211 M273 M281 M311 M312 M321 M332
M342 M383 M391 M413 M431 M510 M522 M531 M540 M782 M904 M905 P731
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H541 H8 J5 J522 L9 L910 M1 M123 M132 M210 M211 M240 M283 M311 M322
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P816 Q120 Q254 R031 R032 R038 RA052J-K RA052J-T RA052J-M
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M413 M431 M510 M522 M531 M540 M782 M904 M905 P731 P816 Q120 Q254
R031 R032 R038 R23694-K R23694-T R23694-M

Polymer Indexing (PS):

<01>

001 018; G0635 G0022 D01 D12 D10 D23 D22 D31 D41 D51 D53 D58 D75 D86
F71; H0000; M9999 M2073

002 018; ND01; Q9999 Q8037 Q7987; Q9999 Q7250

003 018; B9999 B5094 B4977 B4740; B9999 B5209 B5185 B4740

<02>

001 018; R24033 G3714 P0599 D01 F70; S9999 S1423 S1401

002 018; ND01; Q9999 Q8037 Q7987; Q9999 Q7250

<03>

001 018; R01852-R G3634 D01 D03 D11 D10 D23 D22 D31 D42 D50 D76 D86 F24
F29 F26 F34 H0293 P0599 G3623

002 018; ND01; Q9999 Q8037 Q7987; Q9999 Q7250

003 018; Q9999 Q9347; B9999 B4795 B4773 B4740

Derwent Registry Numbers: 1694-U; 1852-U

Specific Compound Numbers: RA27XA-K; RA27XA-T; RA27XA-M; RA27XA-U; R14399-K
; R14399-T; R14399-M; RA0MPQ-K; RA0MPQ-T; RA0MPQ-M; RA052X-K; RA052X-T;
RA052X-M; RA052J-K; RA052J-T; RA052J-M; R23694-K; R23694-T; R23694-M;
R01852-K; R01852-M; RA04WZ-K; RA04WZ-M; RA002Y-K; RA002Y-M; RA1Z26-K;
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Key Word Indexing Terms:

01 123381-1-0-0-CL, USE 26073-0-0-0-CL 26073-0-1-0-CL, ST
111925-0-0-0-CL 109523-0-0-0-CL 111061-0-0-0-CL 90356-0-0-0-CL
104488-0-0-0-CL 91820-0-0-0-CL 295347-1-0-0-CL 107016-0-0-0-CL
2021-0-1-0-CL, ST

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